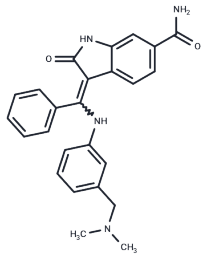
# Data Sheet (Cat.No.T6324)

TargetMol

## (E/Z)-BIX02188

### Chemical Properties



CAS No. : 1094614-84-2

Formula: C25H24N4O2

Molecular Weight: 412.48

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

### Biological Description

| | |
|---|---|
| Description | BIX02188 is a specific MEK5 inhibitor (IC50: 4.3 nM), also inhibits ERK5 catalytic activity (IC50: 810 nM), and does not inhibit closely related kinases MEK1/2, JNK2, and ERK2. |
| Targets(IC50) | ERK,MEK,TGF-beta/Smad |
| In vitro | BIX02188 significantly blocks MEK5 catalytic activity with IC50 of 4.3 nM and inhibits ERK5 catalytic activity with IC50 of 0.83 μM. It shows no activity against closely related kinases MEK1, MEK2, ERK1, p38α, JNK2, TGFβR1, EGFR, and STK16 with IC50 values of 1.8 μM for TGFβR1, 3.9 μM for p38α, and >6.3 μM for other kinases. Pretreatment with BIX02188 inhibits sorbitol-induced phosphorylation of ERK5 in HeLa cells in a dose dependent manner and displays no inhibitory activity against the phosphorylation of ERK1/2, p38, and JNK1/2 MAPKs. BIX02188 treatment alone for 24 hours in HeLa or HEK293 cells does not show any cytotoxic effect. BIX02188 inhibits transcriptional activation of MEF2C through the MEK5/ERK5 signaling cascade in active MEK5/ERK5/MEF2C-driven luciferase expression system in HeLa and HEK293 cells with IC50 values of 1.15 μM and 0.82 μM, respectively. [1] BIX02188 also inhibits phosphorylation of BMK1 in bovine lung microvascular endothelial cells (BLMECs) which are stimulated with 300 μM Water2, in a dose-dependent manner, with IC50 of 0.8 μM, specifically by blocking the MEK5 signal pathway. BIX02188 completely reverses the inhibitory effect on TNF-mediation; JNK activation had a similar effect on BMK1 inhibition, suggesting that it inhibits TNF signaling through activation of the MEK5-BMK1 signaling pathway. [2] |
| Kinase Assay | Catalytic assay: MEK5 protein isolated from a baculovirus expression system is used to measure kinase activity utilizing PKLight ATP Detection Reagent. The assay is performed using 15 nM GST-MEK5 and 0.75 μM ATP in assay buffer consisting of 25 mM Hepes, pH 7.5, 10 mM MgCl2, 50 mM KCl, 0.2% BSA, 0.01% CHAPS, 100 μM Na3VO4, 0.5 mM DTT and 1% DMSO in the presence of varying concentrations of BIX02188. The kinase reaction mixture is incubated for 90 minutes at room temperature followed by addition of 10 μL of an ATP detection reagent for 15 minutes. The relative light unit (RLU) signal is measured and the RLU signals are converted to percent of control (POC) values for the determination of IC50 value. |
| Cell Research | The cells are serum starved for 20 hours prior to stimulation with sorbitol at a final concentration of 0.4 M for 20 minutes at 37 °C. BIX02188 is added 1.5 hours prior to the addition of sorbitol. The cells are harvested and lysed in 50 μL RIPA buffer containing Halt protease and phosphate inhibitors at 4 °C for 5-10 minutes. The lysates are |

| | |
|---|---|
| | centrifuged for 10 minutes at 14,000 rpm and 50 µL lysate is added to 50 µl 2× sample buffer and boiled for 4 minutes at 95 °C. A 20 µl sample is run on SDS-PAGE 10% Tris-glycine gels and transferred to nitrocellulose. Western blotting is done with appropriate antibodies.(Only for Reference) |

## Solubility Information

| | |
|---|---|
| Solubility | Ethanol: 3 mg/mL (7.27 mM),Sonication is recommended.<br>H2O: < 1 mg/mL (insoluble or slightly soluble),<br>DMSO: 40 mg/mL (96.97 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.4244 mL | 12.1218 mL | 24.2436 mL |
| 5 mM | 0.4849 mL | 2.4244 mL | 4.8487 mL |
| 10 mM | 0.2424 mL | 1.2122 mL | 2.4244 mL |
| 50 mM | 0.0485 mL | 0.2424 mL | 0.4849 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Tatake RJ, et al. Biochem Biophys Res Commun, 2008, 377(1), 120-125.
Li L, et al. Biochem Biophys Res Commun, 2008, 370(1), 159-163.





Tel:781-999-4286 E_mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481